- 1. (Cancelled)
- 2. (Currently Amended) A substituted amine according to claim 148

where  $R_1$  is:

where  $R_N$  is:

 $R_{N-1}\text{-}X_N\text{-}$  where  $X_N$  is selected from the group consisting of:

-CO-, and

-SO<sub>2</sub>-,

where  $R_{N-1}$  is  $-R_{N-aryl}$ ;

where RA is:

- $-C_1-C_8$  alkyl,
- -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,
- $(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$ ,
- -cyclopentyl or -cyclohexyl ring fused to  $R_{A-aryl}$ ,

or

-C=OR $_7$ , where R $_7$  is

C<sub>1</sub> - C<sub>6</sub> alkyl,

phenyl,

thioalkoxyalkyl,

(aryl)alkyl, phenylalkyl,

cycloalkyl,

cycloalkylalkyl,

hydroxyalkyl,

alkoxyalkyl,

aryloxyalkyl, phenyloxyalkyl

haloalkyl,

where  $\dot{X}$  is -N or -O, with the proviso that when X is O,  $R_B$  is absent; and when X is N,

carboxyalkyl,

R<sub>B</sub> is:

3. (Currently Amended) A substituted amine according to claim 2

where  $R_1$  is:

 $\frac{\text{(CH_2)} \ (R_{1 \ aryl});}{\text{benzyl, wherein the phenyl portion is}}$  optionally substituted with 1 or 2 groups that are F, Cl,  $C_1$ - $C_4$  alkoxy,  $CF_3$ ,  $C_1$ - $C_4$  alkyl optionally substituted with one

substituent selected from the group consisting of  $C_1$ - $C_3$  alkyl,

-F, -Cl, -Br, -OH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and  $_-NR_{1-a}R_{1-b}$  where  $R_1$ a and  $R_{1-b}$  -H or  $C_1$ - $C_4$  alkyl,

where  $R_2$  is -H; where  $R_3$  is -H; where  $R_N$  is:  $R_{N-1}-X_N - \text{ where } X_N \text{ is:}$ 

-CO-,

where  $R_{N-1}$  is  $\frac{R_{N-aryl}}{r}$  phenyl, substituted with one, two or three of the following substituents which can be the same or different and are  $C_1$ - $C_4$ \_alkyl, optionally substituted with one or two substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub>, -OH, - $NO_2$ , -F, -Cl, -Br, or -I, -CO-OH, -C $\equiv$ N, -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-</sub>  $_{2}R_{N-3}$ ,  $_{-}$  (CH<sub>2</sub>)  $_{0-4}$   $_{-}$  SO<sub>2</sub>  $_{-}$  NR<sub>N-2</sub>R<sub>N-3</sub>,  $_{-}$  (CH<sub>2</sub>)  $_{0-4}$  -SO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  $_{-}$  $(CH_2)_{0-4}-SO_2-(C_1-C_6 \text{ alkyl}), -(CH_2)_{0-4}-SO_2-(C_3-C_7)$  $\underline{\text{cycloalkyl}}$ ,  $\underline{-(CH_2)_{0-4}}$ -O- $(C_1-C_6)$  alkyl optionally substituted with one, two, three, four, or five -F),  $\underline{C_3}$ - $\underline{C_7}$  cycloalkyl, or -  $(\underline{CH_2})_{0-4}$ -  $\underline{C_3}$ - $\underline{C_7}$  cycloalkyl, where  $R_{N\text{--}2}$  and  $R_{N\text{--}3}$  are the same or different and are selected from the group consisting of H, and  $-C_1-C_6$  alkyl optionally substituted with one substituent selected from -OH, and -NH<sub>2</sub>, - $C_1$ - $C_6$  alkyl

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optionally substituted with one to three -F, -Cl, -Br, or -I, -C<sub>3</sub>-C<sub>7</sub> cycloalkyl, -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl), and -(C<sub>1</sub>-C<sub>4</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl);
```

## where $R_A$ is:

 $-C_1-C_8$  alkyl,

- $(CH_2)_{0-3}$ - $(C_3-C_7)$  cycloalkyl,

-  $(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$ 

-cyclopentyl or -cyclohexyl ring fused to  $R_{\mathtt{A-aryl}}$ ,

-cyclopentyl or -cyclohexyl ring fused to  $R_{\text{A-aryl}}$ ,

-C=OR $_7$ , where R $_7$  is

 $C_i - C_6$  alkyl,

<del>(aryl)alkyl,</del> phenylalkyl,

cycloalkyl,

cycloalkylalkyl,

hydroxyalkyl,

alkoxyalkyl, or

haloalkyl,

where X is -N or -O, with the proviso that when X is O,  $R_{B}\ \text{is absent;}$ 

and when X is N, and

R<sub>B</sub> is:

 $-C_1-C_8$ -alkyl, H or  $-C_1-C_6$  alkyl.

 $-(CH_2)_{\theta\to}-(C_3-C_7)$  eycloalkyl,

 $\frac{}{\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{\text{0-4}}\text{R}_{\text{B-aryl}}}$ 

-----cyclopentyl or cyclohexyl ring fused to R<sub>B-aryl</sub>.

4. (Currently Amended) A substituted amine according to claim 3, where  $R_A$  is:  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$ , -cyclopentyl or -cyclohexyl ring fused to  $R_{A-aryl}$ , or -C=OR<sub>7</sub>, where

With one, two or three of the following substituted with one, two or three of the following substituents which can be the same or different and are  $C_1$ - $C_4$  alkyl, optionally substituted with one or two substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C=N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub>, -OH, -NO<sub>2</sub>, -F, -Cl, -Br, or -I, -CO-OH, -C=N, -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub>, -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub>, -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl), -(CH<sub>2</sub>)<sub>0-4</sub>-O-(C<sub>1</sub>-C<sub>6</sub> alkyl) optionally substituted with one, two, three, four, or five -F), C<sub>3</sub>-C<sub>7</sub> cycloalkyl, or -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected

from the group consisting of H, and -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from -OH, and -NH<sub>2</sub>, -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one to three -F, -Cl, -Br, or -I, -C<sub>3</sub>-C<sub>7</sub> cycloalkyl, -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl), and -(C<sub>1</sub>-C<sub>4</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl);

 $R_7$  is  $C_1$  -  $C_6$  alkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, or haloalkyl,

 $R_{A-x}$  and  $R_{A-y}$  are -H,  $C_1$ - $C_4$  alkyl optionally substituted with one or two -OH,  $C_1$ - $C_4$  alkoxy optionally substituted with one, two, or three -F, or phenyl;

where  $R_B$  is H or  $C_1$ - $C_4$  alkyl.

where R<sub>B</sub> is:

 $\frac{-(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl}, or}{cyclopentyl or cyclohexyl ring fused to <math>R_{B-aryl}$ .

 $-(CH_2)-(R_{1-aryl})$  where  $R_{1-aryl}$  is phenyl.

- 6. (Currently Amended) A substituted amine according to claim  $\frac{5}{2}$  148 where  $R_1$  is benzyl substituted with 2 fluorines.
- $-(CH_2)-(R_{1\ aryl})$  where  $R_{1\ aryl}$  is phenyl substituted with two -F.
- 7. (Currently Amended) A substituted amine according to claim 6 where the F substitution  $R_1$  is 3,5-difluorobenzyl.
  - 8. (Cancelled)

- 9. (Cancelled)
- 10. (Currently Amended) A substituted amine according to claim  $\underline{5}$  148—where  $R_N$  is  $R_{N-1}-X_N$ —where  $X_N$  is CO, where  $R_{N-1}$  is  $R_N$ —aryl—where  $R_{N-aryl}$ —is phenyl—C(O)-phenyl, wherein the phenyl—is substituted with one  $-CO-NR_{N-2}R_{N-3}$ —where the substitution on phenyl—is 1,3—.
- 11. (Currently Amended) A substituted amine according to claim 10 where  $R_{N-2}$  and  $R_{N-3}$  are independently H or  $C_1$ - $C_6$  alkyl. the same and are  $C_3$  alkyl.
- 12. (Currently Amended) A substituted amine according to claim  $\frac{5}{2}$  148—where  $R_N$  is -C(O)-phenyl, wherein the

 $R_{N-1}$  -  $X_N$  - where  $X_N$  is CO , where  $R_{N-1}$  - is  $R_{N-ary1}$  - where  $R_{N-ary1}$  - is phenyl <u>is</u> substituted with one  $C_1$  - alkyl methyl group and with one - CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on the phenyl is 1,3,5.

13. (Currently Amended) A substituted amine according to claim 12 where  $R_{N-2}$  and  $R_{N-3}$  are independently H or  $C_1$ - $C_6$  alkyl. the same and are  $C_3$  alkyl.

## 14-15. (Cancelled)

- 16. (Currently Amended) A substituted amine according to either claim 10 or 12  $\frac{148}{16}$  where  $R_A$  is:
- $-\left(CR_{A-x}R_{A-y}\right)_{0-4}-R_{A-aryl} \text{ where } R_{A-aryl} \text{ is phenyl, } \underline{\text{which is}}$  optionally substituted with one or two substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C=N, -CF<sub>3</sub>,  $C_1-C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub>; and wherein the phenyl is optionally fused to a cyclopentyl or cyclohexyl ring; cyclopentyl or cyclohexyl ring fused to a  $R_{A-aryl}$ ; and  $R_{A-x}$  and  $R_{A-y}$ , if present, are both H.
- 17. (Currently Amended) A substituted amine according to claim 16 where  $R_A$  is phenyl. :  $(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$  where  $R_{A-aryl}$  is phenyl.
- 18. (Currently Amended) A substituted amine according to claim 17 claim 16 where phenyl is mono-substituted in at the 3-position or disubstituted at the 3,5-positions.

## 19-20. (Cancelled)

- 21. (Original) A substituted amine according to claim 16 where  $R_A$  is: -cyclohexyl ring fused to a phenyl ring.
- 22. (Currently Amended) A substituted amine according to claim 148 claim 17, where  $R_B$  is H or  $C_1$ - $C_4$  alkyl.  $R_B$  is:

- 23. (Currently Amended) A substituted amine according to claim 22 where  $R_B$  is  $\underline{H}$ . is:  $(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl}$  where  $R_{B-aryl}$  is phenyl,
- 24. (Currently Amended) A substituted amine according to claim 22 claim 23 where  $R_B$  is methyl. phenyl is substituted in the 3 position or 3,5 positions.

25-26. (Cancelled)

- 27. (Cancelled)
- 28. (Currently Amended) A substituted amine according to claim 148, where X is oxygen and  $R_{B}$  is absent.
- 29. (Previously Presented) A substituted amine according to claim 148 chosen from the group consisting of:

N-[1-(3,5-Difluoro-benzyl)-2-hydroxy-3-(N'-methyl-N'-phenyl-hydrazino)-propyl]-5-methyl-N', N'-dipropyl-isophthalamide,

 $N-\{1-(3,5-Difluoro-benzyl)-2-hydroxy-3-[N'-methyl-N'-(4-methyl-pentanoyl)-hydrazino]-propyl\}-5-methyl-N',N'-dipropyl-isophthalamide, and$ 

N-[1-(3,5-Difluoro-benzyl)-2-hydroxy-3-phenoxyamino-propyl]-5-methyl-N',N'-dipropyl-isophthalamide.

30. (Previously Presented) A substituted amine according to claim 148 where the pharmaceutically acceptable salt is selected from the group consisting of salts of the following acids acetic, aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camsylic, carbonic, chlorobenzoic, citric, edetic, edisylic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycollylarsanilic, hexamic, hexylresorcinoic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic, methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, sulfamic, sulfamilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic.

## 31-143. (Cancelled)

144. (Previously Presented) A composition comprising a compound of formula XV

where  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_N$ ,  $R_A$ ,  $R_B$ , and X are as defined in claim 148; and an inert diluent or edible carrier.

- 145. (Original) The composition of claim 144, where said carrier is an oil.
- 146. (Previously Presented) A composition comprising a compound of formula XV

where  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_N$ ,  $R_A$ ,  $R_B$ , and X are as defined in claim 148; and an binder, excipient, disintegrating agent, lubricant, or gildant.

147. (Previously Presented) A composition comprising a compound of formula XV

where  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_N$ ,  $R_A$ ,  $R_B$ , and X are as defined in claim 148, disposed in a cream, ointment, or patch.

148. (Currently Amended) A substituted amine of formula (XV)

or a salt thereof, where  $R_1$  is  $-(CH_2)_{n1}-(R_{1-ary1})$  where  $n_1$  is zero or one and where  $R_{1-ary1}$  is phenyl, optionally substituted with one, two, or three, or four of the following substituents on the aryl ring:

(A)  $C_1$ - $C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  -H or  $C_1$ - $C_6$  alkyl,

(B)  $C_2$   $C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of F, Cl, OH, SH, C=N,

-CF<sub>3</sub>,  $C_1$ - $C_3$ -alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub>-where  $R_{1-a}$ -and  $R_{1-b}$ -are H or  $C_1$ - $C_6$ -alkyl,

(C)  $C_2$   $C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of F,  $C_1$ ,  $C_1$ ,  $C_2$  alkoxy, and  $C_1$   $C_2$  alkoxy, and  $C_3$  alkoxy, and  $C_4$   $C_6$  alkyl,

- (D) -F, Cl, -Br or -I,
- (F)  $-C_1-C_6$  alkoxy optionally substituted with one, two, or three of: -F,
- (G)  $-N\ensuremath{R_{N-2}}\ensuremath{R_{N-3}}$  where  $\ensuremath{R_{N-2}}$  and  $\ensuremath{R_{N-3}}$  are as defined below,
  - (H) -OH,
  - (I) -C≡N,

(K) 
$$-CO-(C_1-C_4 \text{ alkyl})$$
,

(L) —  $SO_2$  —  $NR_{1-a}R_{1-b}$  — where  $R_{1-a}$  — and  $R_{1-b}$  are as defined above,

 $\frac{\text{(N)} - \text{SO}_2 - (\text{C}_1 - \text{C}_4 - \text{alkyl})}{\text{(N)}}$ 

where R2 is:

[(I)]-H, or  $C_1-C_3$  alkyl;

(II)  $C_1$   $C_3$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$   $C_3$  alkyl, F, Cl, Br, I, OH, SH, C=N, CF<sub>3</sub>,  $C_1$  C<sub>3</sub> alkoxy, and  $R_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

where R<sub>3</sub> is:

$$[(1)]$$
-H, or  $C_1$ - $C_3$  alkyl;

 $(\frac{\text{II}) \quad C_1 - C_3 - \text{alkyl}, \text{ optionally substituted with one, two}}{\text{or three substituents selected from the group consisting of } C_1 - C_3 - \text{alkyl}, \quad F_7 - C_1, \quad B_7, \quad I_7 - OH_7, \\ -SH_7 - C_7 - C_7 - C_7 - C_3 - \text{alkoxy}, \text{ and } -NR_{1-a}R_{1-b} - \text{where } R_{1-a} - \text{and } -R_{1-b} - \text{are}}$  as defined above,

where  $R_N$  is  $R_{N-1}\text{-}X_{N^-}$  where  $X_N$  is selected from the group consisting of:

- (A) -CO-,
- (B)  $-SO_2-$ ,
- (C) -(CR'R") $_{1\text{-}6}$  where R' and R" are the same or different and are -H or  $C_1\text{-}C_4$  alkyl,
  - (E) a single bond;

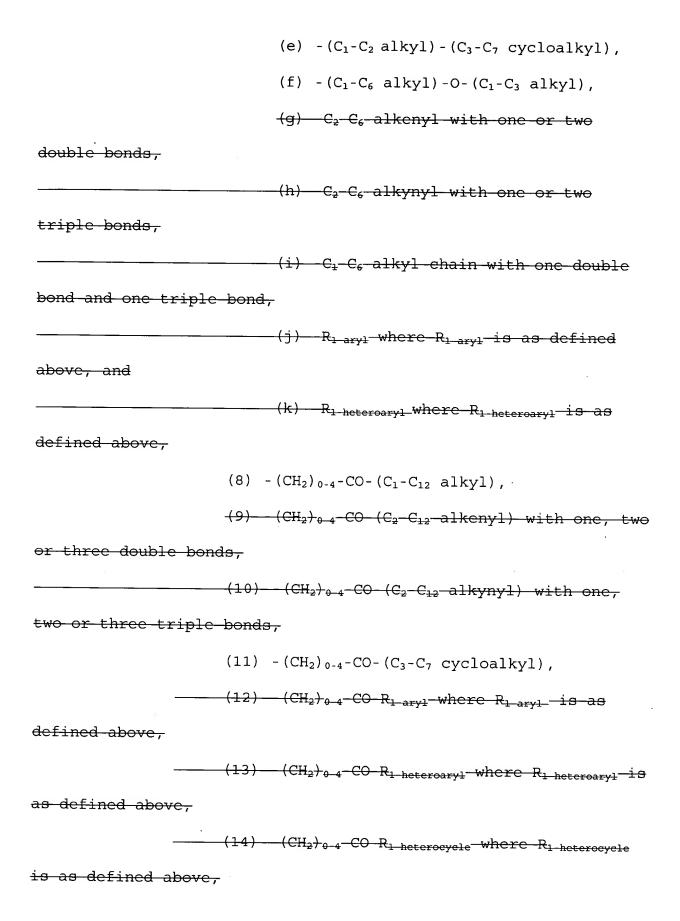
where  $R_{N-1}$  is  $R_{N-aryl}$  where  $R_{N-aryl}$  is phenyl, 1-naphthyl, or 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H benzo[a]cycloheptenyl, or dihydronaphthyl each of

which is optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I,

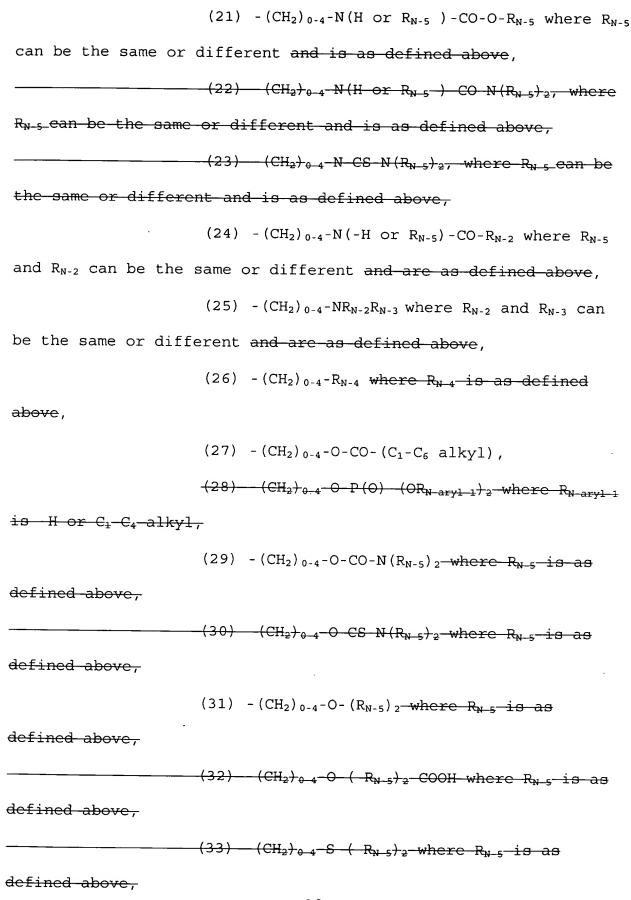
-OH, -SH, -C $\equiv$ N, -CF $_3$ , C $_1$ -C $_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above,

- (2) OH,
- (3) NO<sub>2</sub>
- (4) -F, -Cl, -Br, or -I,
- (5) -CO-OH,
- (6) -C≣N,
- (7) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:
  - (a) -H,
- $\mbox{(b) $-C_1$-$C_6$ alkyl optionally substituted}$  with one substitutent selected from the group consisting of:
  - (i) -OH, and
  - (ii) NH<sub>2</sub>
- (c)  $-C_1-C_6$  alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,
  - (d)  $-C_3-C_7$  cycloalkyl,



(15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of  $C_1$ - $C_6$  alkyl, (16) -(CH<sub>2</sub>) $_{0\text{-}4}\text{-CO-O-R}_{N\text{-}5}$  where  $R_{N\text{-}5}$  is selected from the group consisting of: (a)  $C_1$ - $C_6$  alkyl, (b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined above, (c) C2 C6 alkenyl containing one or two double bonds, (d) C2 C6 alkynyl containing one or two triple bonds, (e)  $C_{3}$ - $C_{7}$  cycloalkyl, and (f)--(CH<sub>2</sub>)<sub>0-2</sub>-(R<sub>1-heteroaryl</sub>) where R<sub>1-heteroaryl</sub> is as defined above, (17)  $(CH_2)_{0-4}$   $SO_2$   $NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$ are as defined above. - (18) (CH<sub>2</sub>)<sub>0-4</sub> SO (C<sub>1</sub>-C<sub>8</sub>-alkyl), -(19)  $-(CH_2)_{0-4}$   $-SO_2$   $-(C_1-C_{12}-alkyl)_{+}$ 

eycloalkyl),



 $(34) - (CH_2)_{0\text{-}4} - O - (C_1 - C_6 \text{ alkyl optionally} \\$  substituted with one, two, three, four, or five -F),

(35)  $C_3-C_7$  cycloalkyl,

bonds optionally substituted with  $C_1$   $C_3$  alkyl, F,  $C_1$ , Br, I, OH, SH, C=N,  $CF_3$ ,  $C_1$   $C_3$  alkoxy, or  $NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

 $\frac{(38) \quad (CH_2)_{0-4} - N(-H \ or \ R_{N-5}) - SO_2 - R_{N-2} - where \ R_{N-5}}{and \ R_{N-2} - can \ be the same or different and are as described}$  above, or

(39) 
$$-(CH_2)_{0-4}-C_3-C_7$$
 cycloalkyl,

where  $R_A$  is:

(I)- $C_1$ - $C_{10}$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_6$  alkoxy, -O-phenyl, -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above, -OC=O NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above, -S(=O) $_{0-2}$  R $_{1-a}$  where R $_{1-a}$  is as defined above, -NR $_{1-a}$ C=O NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above, -C=O NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as

defined above, and  $-S(=0)_2$   $NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

 $\frac{(\text{II})-(\text{CH}_2)_{0-3}-(\text{C}_3-\text{C}_8)-\text{cycloalkyl where cycloalkyl-can}}{\text{be optionally substituted with one, two or three substituents}}$  selected from the group consisting of  $\text{C}_1$ - $\text{C}_3$ -alkyl, F, Cl, Br, I, OH, SH, C=N, CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub>-alkoxy, O-phenyl, CO-OH, CO-O+(C<sub>1</sub>-C<sub>4</sub>-alkyl), and NR<sub>1-a</sub>R<sub>1-b</sub>-where R<sub>1-a</sub>-and R<sub>1-b</sub>-are-as defined above,

(III) -(CRA-xRA-y)0-4-RA-aryl where  $R_{\text{A-x}}$  and  $R_{\text{A-y}}$  are

- (A) -H,
- (B)  $C_1\text{-}C_4$  alkyl optionally substituted with one or two -OH,
- (C)  $C_1\text{-}C_4$  alkoxy optionally substituted with one, two, or three of: -F,
  - (D)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,
- (E)  $C_2$ - $C_6$  alkenyl containing one or two double bonds,
- (F)  $C_2\text{-}C_6$  alkynyl containing one or two triple bonds,
  - (G) phenyl,

and where  $R_{A-x}$  and  $R_{A-y}$  are taken together with the earbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group

consisting of -O-, S-, SO2-, and NRN2- and RA arylis the same as  $R_{N \ aryl} \dot{\tau}$ 

(IV) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to  $R_{A-aryl}$ , where  $R_{A-aryl}$  is as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH,  $NR_{N-5}$ , O, or  $S(=0)_{0-2}$ , and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two -C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, =O, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(V) — CH (-CH<sub>2</sub>-OH) - CH (-OH) - phenyl-NO<sub>2</sub>,

(VI) -H,

(VII)

-----C=OC(HR<sub>6</sub>)NHR<sub>4</sub>, where R<sub>6</sub> and R<sub>7</sub> are as defined

<del>below</del>

-C=OR<sub>7</sub>, where R<sub>7</sub> is as defined below, or

-C=OOR<sub>7</sub>, where R<sub>7</sub> is as defined below, or

-SOOR<sub>7</sub> where R<sub>7</sub> is as defined below,

wherein R6-is:

hydrogen

C<sub>1</sub>— C<sub>2</sub>— alkyl,

phenyl,

thioalkoxyalkyl,

-alkyl-substituted aryl,

<del>-cycloalkyl,</del>

	eycloalkylalkyl,
	hydroxyalkyl,
	alkoxyalkyl,
	aryloxyalkyl,
·	haloalkyl,
	<del>carboxyalkyl,</del>
	alkoxycarbonylalkyl
	aminoalkyl,
	(N-protected) aminoalkyl,
	alkylaminoalkyl,
	((N-protected)(alkyl)amino)alkyl
	dialkylaminoalkyl,
	guanidinoalkyl,
	lower alkenyl,
·	
	(heterocyclic) alkyl),
	arylthioalkyl,
	arylsulfonyalkyl,
	——————————————————————————————————————
	(heterocyclic) sulfonylalkyl,
	(heterocyclic) oxyalkyl,
	<del>arylalkoxyalkyl,</del>
	——————————————————————————————————————
	arylalkylsulfonylalkyl,
	(heterocyclic))alkoxyalkyl, -23-

. .

.

(heterocyclic) thioalkoxyalkyl,
(heterocyclic) alkylsulfonylalkyl,
<del></del>
cycloalkylthioalkyl,
<del></del>
cycloalkylthioalkoxyalkyl,
aminocarbonyl,
alkylaminocarbonyl,
dialkylaminocarbonyl,
<del>aroylalkyl,</del>
——————————————————————————————————————
<del>polyhydroxyalkyl,</del>
aminocarbonylalkyl,
alkylaminocarbonylalkyl,
-dialkylaminocarbonylalkyl,
aryloxyalkyl, or
alkylsulfonylalkyl,
thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, furanyl, thienyl,
tetrahydrofuranyl, tetrahydrothienyl and tetrahydro[2H]pyranyl
and wherein the heterocycle is unsubstituted or substituted with
one to three substituents independently selected from hydroxy,
halo, amino, alkylamino, dialkylamino, alkoxy, polyalkoxy, -24-

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haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, COOH,
-SO<sub>3</sub>H, lower alkenyl or lower alkyl;
                      wherein R<sub>7</sub> is:
                           C_1 - C_6 alkyl,
                           phenyl,
                           thioalkoxyalkyl,
                           (aryl)alkyl,
                           cycloalkyl,
                           cycloalkylalkyl,
                           hydroxyalkyl,
                           alkoxyalkyl,
                           aryloxyalkyl,
                           haloalkyl,
                           carboxyalkyl,
                           alkoxycarbonylalkyl,
                           aminoalkyl,
                           (N protected) aminocalkyl,
                           alkylaminoalkyl,
                          ((N protected) (alkyl) amino) alkyl,
                          dialkylaminoalkyl,
                          guanidinoalkyl,
                          lower alkenyl,
                          heterocyclic,
                          (heterocyclic)alkyl),
                          arylthioalkyl,
```

arylsulfonylalkyl,
(heterocyclic) thioalkyl,
(hterocyclic) sulfonylalkyl
(heterocyclic)oxyalkyl
<del>arylalkoxyalkyl,</del>
arylthioalkoxyalkyl,
arylalkylsulfonylalkyl
(heterocyclic)alkoxyalkyl,
(heterocyclic)thioalkoxyalkyl
(heterocyclic)alkylsulfonylalkyl
<del>cycloalkyloxyalkyl,</del>
<del>cyclolakylthioalkyl,</del>
<del>cycloalkylalkoxyalkyl,</del>
aminocarbonyl,
dialkylaminocarbonyl,
<del>aroylalkyl,</del>
(heterocyclic) carbonylalkyl,
——————————————————————————————————————
<del>aminocarbonylalkyl,</del>
dialkylaminocarbonylalkyl,
-26-

wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuranyl, tetrahydrothienyl, and tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with one to three substituents independently selected from hydroxy, halo, amino, alkylamino, dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkyl, aryl, arylalkyl, COOH, SO<sub>3</sub>H, lower alkenyl or lower alkyl;

where X is -N, or -O, with the proviso that when X is O,  $R_{\mbox{\scriptsize B}}$  is absent;

and when X is N,

R<sub>B</sub> is:

[(I)]  $-C_1-C_{10}$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C $\equiv$ N, CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub> R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, NR<sub>1-a</sub> a c=ONR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and S(=O)<sub>2</sub> NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II)  $-(CH_2)_{0-3}-(C_3-C_8)$  cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents

selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_6$  alkoxy, -O-phenyl, -CO-OH, -CO-O- ( $C_1$ - $C_4$  alkyl), and NR $_{1-a}$ R $_{1-b}$ : where R $_{1-a}$  and R $_{1-b}$ -are as defined above.

 $\frac{\text{(III)} - (CR_{B-x}R_{B-y})_{0-4} - R_{B-aryl}}{\text{where } R_{B-x}}$  and  $R_{B-y}$  are — (A) H, <del>(B) C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or</del> two OH, -- (C) C<sub>1</sub>-C<sub>4</sub>-alkoxy optionally substituted with one, two or three of F, -(D)-(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub>-cycloalkyl,(E) C2-C6 alkenyl containing one or two double bonds, -(F) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds, or (G) phenyl, and where R<sub>B x</sub> and R<sub>B y</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of  $\Theta$  , S ,  $SO_2$  , and  $NR_{N-2}$  where  $R_{N-2}$  is as defined  $_{
m above}$ , and  $R_{
m B-aryl}$  is the same as  $R_{
m N-aryl}$  and is defined above <del>- (IV) CH(R<sub>B aryl</sub>)<sub>2</sub> where R<sub>B aryl</sub> are the same or different</del> and are as defined above,

149. (New) A compound according to claim 11, wherein

$$R_N$$
 is of the formula  $NR_{N-2}R_{N-3}$ 

150. (New) A compound according to claim 149, wherein  $R_{N\text{--}2}$  and  $R_{N\text{--}3}$  are both  $C_3$  alkyl.

151. (New) A compound according to claim 13, wherein

$$O$$
 $O$ 
 $NR_{N-2}R_{N-3}$ 
 $CH_3$ 

 $R_{N}$  is of the formula

152. (New) A compound according to claim 151, wherein

 $R_{N\text{--}2}$  and  $R_{N\text{--}3}$  are both  $C_3$  alkyl.

153. (New) A compound according to claim 28, wherein  $R_1$  is benzyl, wherein the phenyl portion is optionally substituted with 1 or 2 groups that are F, Cl,  $C_1$ - $C_4$  alkoxy,  $CF_3$ ,  $C_1$ - $C_4$  alkyl optionally substituted with one substituent selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -OH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and  $_1$ NR $_1$ - $_2$ R $_1$ - $_3$  where  $R_1$ - $_4$  and  $R_1$ - $_5$  -H or  $C_1$ - $C_4$  alkyl,

 $R_2$  is -H;

 $R_3$  is -H;

 $R_N$  is  $R_{N-1}-X_N-$  where  $X_N$  is -CO-, and  $R_{N-1}$  is phenyl substituted with one, two or three of the following substituents which can be the same or different and are  $C_1-C_4$  alkyl, -OH, -NO<sub>2</sub>, -F, -Cl, -Br, or -I, -CO-OH, -C $\equiv$ N, -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub>, where

 $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of H, and  $-C_1-C_6$  alkyl optionally substituted with one substituent selected from -OH, and -NH<sub>2</sub>,  $-C_1-C_6$  alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,  $-C_3-C_7$  cycloalkyl,  $-(C_1-C_2$  alkyl) -  $(C_3-C_7$  cycloalkyl), and  $-(C_1-C_4$  alkyl)-O- $(C_1-C_3$  alkyl).

154. (New) A compound according to claim 153, wherein  $R_A \mbox{ is } -(CR_{A-x}R_{A-y})_{\,0-4} - R_{A-aryl}, \mbox{ or } -C=OR_7, \mbox{ where}$ 

 $R_{A-aryl}$  is phenyl, 1-naphthyl, or 2-naphthyl, substituted with one, two or three of the following substituents which can be the same or different and are  $C_1$ - $C_4$  alkyl optionally substituted with one or two substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$ , -OH, -NO $_2$ , -F, -Cl, -Br, or -I, -CO-OH, -C $\equiv$ N, -(CH $_2$ ) $_{0-4}$ -CO-NR $_{N-2}$ R $_{N-3}$ , -(CH $_2$ ) $_{0-4}$ -SO $_2$ -(C $_1$ -C $_6$  alkyl), -(CH $_2$ ) $_{0-4}$ -SO $_2$ -(C $_1$ -C $_6$  alkyl), -(CH $_2$ ) $_{0-4}$ -SO $_2$ -(C $_3$ -C $_7$  cycloalkyl), -(CH $_2$ ) $_{0-4}$ -O-(C $_1$ -C $_6$  alkyl optionally substituted with one, two, three, four, or five -F),  $C_3$ -C $_7$  cycloalkyl, or -(CH $_2$ ) $_{0-4}$ - C $_3$ -C $_7$  cycloalkyl, where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected

from the group consisting of  $\dot{H}$ , and  $-C_1-C_6$  alkyl;  $R_7$  is  $C_1$  -  $C_6$  alkyl;

 $R_{\text{A-x}}$  and  $R_{\text{A-y}}$  are -H,  $\text{C}_1\text{-}\text{C}_4$  alkyl, or phenyl.

155. (New) A compound according to claim 154, wherein  $R_1$  is benzyl, wherein the phenyl portion is substituted with 1 or 2 groups that are F, Cl,  $C_1$ - $C_4$  alkoxy,  $CF_3$ , or  $C_1$ - $C_4$  alkyl;  $R_{A-aryl}$  is phenyl substituted with one or two of the following substituents  $C_1$ - $C_4$  alkyl, optionally substituted with

one or two substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -OH, -NO<sub>2</sub>, -F, -Cl, -Br, or -I, -CO-OH, -C $\equiv$ N, -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub>, and -(CH<sub>2</sub>)<sub>0-4</sub>-O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, three, four, or five -F, where

 $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of H, and  $-C_1-C_6$  alkyl.

- 156. (New) A substituted amine according to claim 155 where  $R_N$  is  $-C\,(O)\,-$  phenyl, wherein the phenyl is substituted with one  $-CO-NR_{N-2}R_{N-3}\,.$
- 157. (New) A substituted amine according to claim 156 where  $R_{N\text{--}2}$  and  $R_{N\text{--}3}$  are independently H or  $C_1\text{--}C_6$  alkyl.
- 158. (New) A compound according to claim 157, wherein  $R_{N\text{-}2}$  and  $R_{N\text{-}3}$  are both  $C_3$  alkyl.
- 159. (New) A substituted amine according to claim 155 where  $R_N$  is -C(O)-phenyl, wherein the phenyl is substituted with one methyl group and with one -CO-NR\_{N-2}R\_{N-3}.
- 160. (New) A substituted amine according to claim 159 where  $$R_{N-2}$$  and  $$R_{N-3}$$  are independently H or  $C_1\text{-}C_6$  alkyl.

- 161. (New) A compound according to claim 160, wherein  $R_{N\text{-}2}$  and  $R_{N\text{-}3}$  are both  $C_3$  alkyl.
- 162. (New) A compound according to claim 4, wherein  $R_7$  is  $C_1$   $C_6$  alkyl;
- $R_1$  is benzyl, wherein the phenyl portion is substituted with 1 or 2 groups that are F, Cl,  $C_1\text{-}C_4$  alkoxy,  $CF_3$ , or  $C_1\text{-}C_4$  alkyl; and
- $R_N$  is  $R_{N-1}-X_N-$  where  $X_N$  is -CO-, and  $R_{N-1}$  is phenyl substituted with one, two or three of the following substituents which can be the same or different and are  $C_1-C_4$  alkyl, -OH, -NO<sub>2</sub>, -F, -Cl, -Br, or -I, -CO-OH, -C $\equiv$ N, -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub>, where
  - $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of H, and  $-C_1-C_6$  alkyl optionally substituted with one substituent selected from -OH, and -NH<sub>2</sub>, -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one to three -F, -Cl, -Br, or -I, -C<sub>3</sub>-C<sub>7</sub> cycloalkyl, -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl), and -(C<sub>1</sub>-C<sub>4</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl).
  - 163. (New) A compound according to claim 162, wherein

- $R_N$  is -C(O)-phenyl, wherein the phenyl is substituted with one  $-CO-NR_{N-2}R_{N-3} \,. \label{eq:condition}$
- 164. (New) A substituted amine according to claim 163 where  $R_{N-2}$  and  $R_{N-3}$  are independently H or  $C_1$ - $C_6$  alkyl.
- 165. (New) A compound according to claim 164, wherein  $R_{N\text{-}2}$  and  $R_{N\text{-}3}$  are both  $C_3$  alkyl.
- 166. (New) A substituted amine according to claim 162 where  $R_N$  is -C(O)-phenyl, wherein the phenyl is substituted with one methyl group and with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub>.
- 167. (New) A substituted amine according to claim 166 where  $R_{N\text{--}2}$  and  $R_{N\text{--}3}$  are independently H or  $C_1\text{--}C_6$  alkyl.
- 168. (New) A compound according to claim 167, wherein  $R_{N\text{-}2}$  and  $R_{N\text{-}3}$  are both  $C_3$  alkyl.